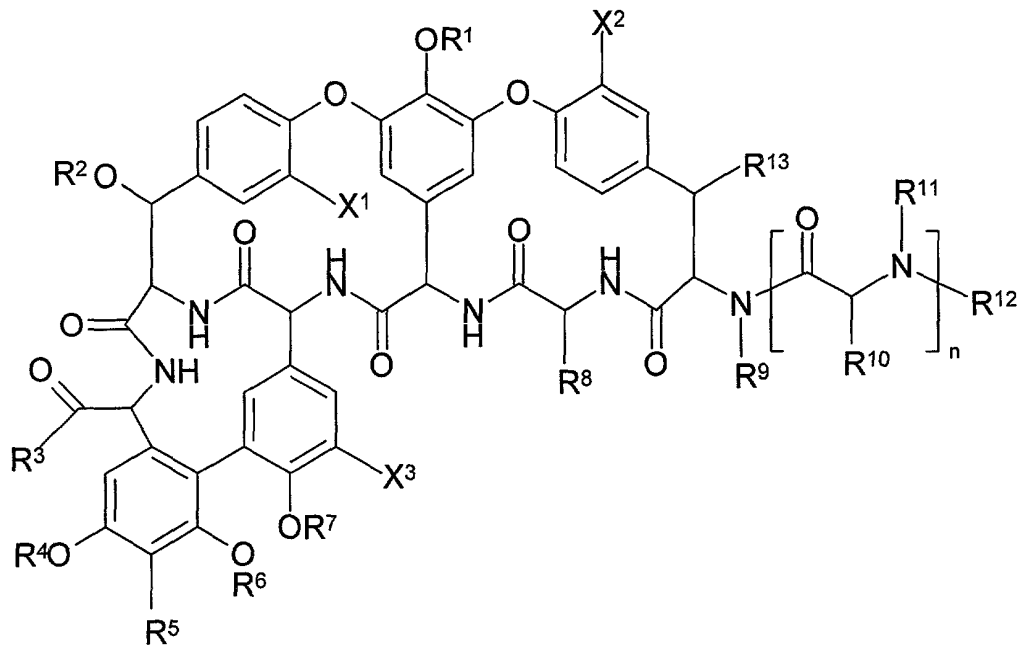


WHAT IS CLAIMED IS:

1. A glycopeptide of formula I:



(I)

wherein:

- 5 R¹ is an amino containing saccharide group substituted on the amine with a substituent that comprises two or more (e.g. 2, 3, 4, 5, or 6) hydroxy (OH) groups;

R² is hydrogen or a saccharide group optionally substituted with -R^a-Y-R^b-(Z)_x, R^f, -C(O)R^f, or -C(O)-R^a-Y-R^b-(Z)_x;

R³ is -OR^c, -NR^cR^c, -O-R^a-Y-R^b-(Z)_x, -NR^c-R^a-Y-R^b-(Z)_x, -NR^cR^e, or -O-R^e;

- 10 R⁴ is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, -R^a-Y-R^b-(Z)_x, -C(O)R^d and

a saccharide group optionally substituted with $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$;

R^5 is selected from the group consisting of hydrogen, halo, $-CH(R^c)-NR^cR^c$, $-CH(R^c)-NR^cR^c$, $-CH(R^c)-NR^c-R^a-Y-R^b-(Z)_x$, $-CH(R^c)-R^x$, and

5 $-CH(R^c)-NR^c-R^a-C(=O)-R^x$;

R^6 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, $-C(O)R^d$ and a saccharide group optionally substituted with $-NR^c-R^a-Y-R^b-(Z)_x$, or R^5 and R^6 can be joined, together with the atoms to which they are attached, form a heterocyclic ring

10 optionally substituted with $-NR^c-R^a-Y-R^b-(Z)_x$;

R^7 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, $-R^a-Y-R^b-(Z)_x$, and $-C(O)R^d$;

R^8 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted

15 cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^9 is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^{10} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted

20 cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic; or R^8 and R^{10} are joined to form $-Ar^1-O-Ar^2-$, where Ar^1 and Ar^2 are independently arylene or heteroarylene;

R^{11} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted

25 cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic, or

R¹⁰ and R¹¹ are joined, together with the carbon and nitrogen atoms to which they are attached, to form a heterocyclic ring;

R¹² is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, -C(O)R^d, -C(NH)R^d, -C(O)NR^cR^c, -C(O)OR^d, -C(NH)NR^cR^c and -R^a-Y-R^b-(Z)_x, or R¹¹ and R¹² are joined, together with the nitrogen atom to which they are attached, to form a heterocyclic ring;

R¹³ is selected from the group consisting of hydrogen or -OR¹⁴;

R¹⁴ is selected from hydrogen, -C(O)R^d and a saccharide group;

each R^a is independently selected from the group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene;

each R^b is independently selected from the group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene and substituted alkynylene, provided R^b is not a covalent bond when Z is hydrogen;

each R^c is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic and -C(O)R^d;

each R^d is independently selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl and heterocyclic;

R^e is a saccharide group;

each R^f is independently alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic;

R^x is a nitrogen-linked amino saccharide or a nitrogen-linked heterocycle;

X^1 , X^2 and X^3 are independently selected from hydrogen or chloro;

each Y is independently selected from the group consisting of oxygen, sulfur,

5 -S-S-, $-NR^c-$, $-S(O)-$, $-SO_2-$, $-NR^cC(O)-$, $-OSO_2-$, $-OC(O)-$, $-NR^cSO_2-$,
 $-C(O)NR^c-$, $-C(O)O-$, $-SO_2NR^c-$, $-SO_2O-$, $-P(O)(OR^c)O-$, $-P(O)(OR^c)NR^c-$,
 $-OP(O)(OR^c)O-$, $-OP(O)(OR^c)NR^c-$, $-OC(O)O-$, $-NR^cC(O)O-$, $-NR^cC(O)NR^c-$,
 $-OC(O)NR^c-$, $-C(=O)-$, and $-NR^cSO_2NR^c-$;

each Z is independently selected from hydrogen, aryl, cycloalkyl, cycloalkenyl,
heteroaryl and heterocyclic;

10 n is 0, 1 or 2; and

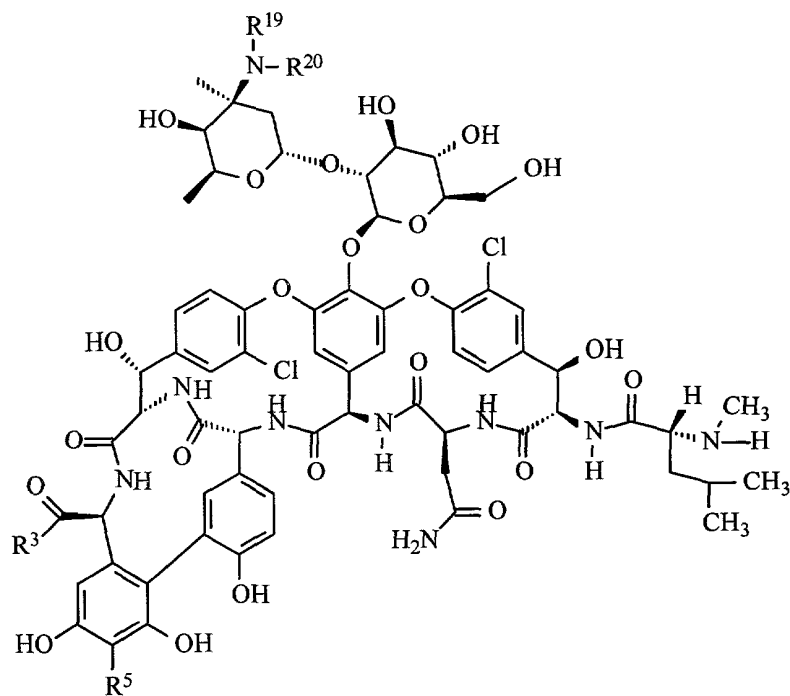
x is 1 or 2;

or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof;

provided the group R^3 does not comprises more than one carboxy group; and

15 provided the group R^3 is not a substituent that comprises one or more saccharide
groups and a carboxy (COOH) group; and

provided the compound of formula I is not a compound of formula II:



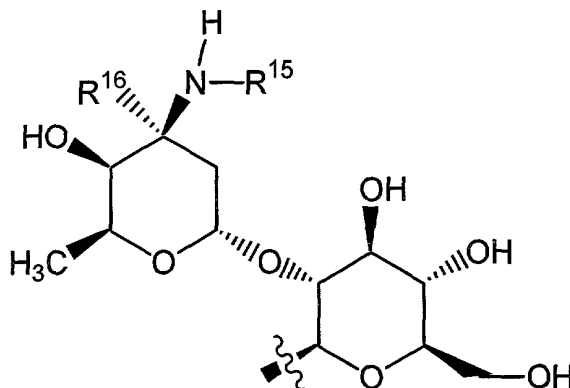
(II)

- a) wherein R^3 is OH; R^5 is hydrogen; R^{19} is $-\text{CH}_2[\text{CH}(\text{OH})]_4\text{COOH}$; and R^{20} is $-\text{CH}_2\text{CH}_2\text{-NH}-(\text{CH}_2)_9\text{CH}_3$; or
- b) wherein R^3 is OH; R^5 is hydrogen; R^{19} is hydrogen; and R^{20} is $-\text{CH}_2\text{CH}_2\text{-N}(\text{C}(\text{O})\text{-3,4,5-trihydroxycyclohex-1-en-1-yl})\text{-}(\text{CH}_2)_9\text{CH}_3$ (R,S,R isomer).

5

2. The glycopeptide of claim 1 wherein R^1 is an amino containing saccharide group substituted on the amine with a group comprising two or more hydroxy groups that is selected from $-\text{R}^a\text{-Y-R}^b\text{-(Z)}_x$, R^f , $-\text{C}(\text{O})\text{R}^f$, and $-\text{C}(\text{O})\text{-R}^a\text{-Y-R}^b\text{-(Z)}$.

3. The glycopeptide of claim 1 wherein R^1 is a saccharide group of the formula:



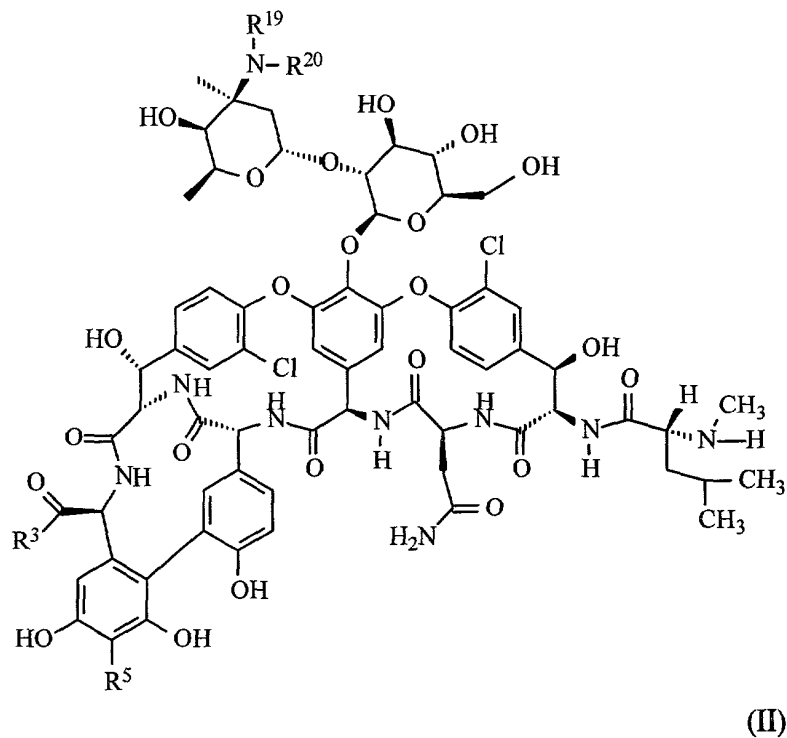
wherein R^{15} comprises two or more hydroxy groups and is selected from $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, and $-C(O)-R^a-Y-R^b-(Z)_x$; and R^{16} is hydrogen or methyl.

4. The glycopeptide of claim 3 wherein R^{15} is substituted alkyl, substituted alkenyl,
5 substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, aryl, heteroaryl,
heterocyclic, substituted alkyl-C(O)-, substituted alkenyl-C(O)-, substituted
alkynyl-C(O)-, substituted cycloalkyl-C(O)-, substituted cycloalkenyl-C(O)-,
aryl-C(O)-, heteroaryl-C(O)-, or heterocyclic-C(O)-; wherein R^{15} comprises two or more
hydroxy groups.

10 5. The glycopeptide of claim 3 wherein R^{15} is a group of formula $-CH_2-$
 $CH(OH)CH(OH)CH_2-Y-R^b-(Z)_x$; wherein Y, R^b , Z, and x have the values defined in
claim 1.

15 6. The glycopeptide of claim 3 wherein R^{15} is a group of formula $-CH_2-$
 $CH(OH)CH(OH)CH_2-R^{17}$ wherein R^{17} is hydrogen, alkyl, substituted alkyl, alkenyl,
substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl,
cycloalkenyl, substituted cycloalkenyl, aryl, heteroaryl, or heterocyclic.

7. The glycopeptide of claim 1 which is a compound of formula II:



wherein:

R^{19} is hydrogen;

R^{20} is $-R^a-Y-R^b-(Z)_x$, R^f , $-C(O)R^f$, or $-C(O)-R^a-Y-R^b-(Z)_x$; and

- 5 R^a , Y , R^b , Z , x , R^f , R^3 , and R^5 have any of the values defined in claim 1;
or a pharmaceutically acceptable salt, stereoisomer, or prodrug thereof.

8. The glycopeptide of claim 7 wherein R^3 is OH.

9. The glycopeptide of claim 7 wherein R^5 is hydrogen.

10. The glycopeptide of claim 27 wherein R¹⁹ is hydrogen; and R²⁰ is selected from -R^a-Y-R^b-(Z)_x, R^f, -C(O)R^f, and -C(O)-R^a-Y-R^b-(Z)_x.
- 5 11. The glycopeptide of claim 10 wherein R²⁰ is substituted alkyl, substituted alkenyl, substituted alkynyl, substituted cycloalkyl, substituted cycloalkenyl, aryl, heteroaryl, heterocyclic, substituted alkyl-C(O)-, substituted alkenyl-C(O)-, substituted alkynyl-C(O)-, substituted cycloalkyl-C(O)-, substituted cycloalkenyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)-, or heterocyclic-C(O)-; wherein R¹⁵ comprises two or more hydroxy groups.
- 10 12. The glycopeptide of claim 10 wherein R²⁰ is substituted alkyl, substituted alkenyl, substituted alkynyl, substituted alkyl-C(O)-, substituted alkenyl-C(O)-, substituted alkynyl-C(O)-; wherein R¹⁵ comprises two or more hydroxy groups.
13. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of claim 1.
14. The pharmaceutical composition of claim 13, which comprises a cyclodextrin.
- 15 15. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 1.
- 20 16. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a glycopeptide of claim 7.

17. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a pharmaceutical composition of claim 13.

17. A method of treating a mammal having a bacterial disease, the method comprising administering to the mammal a therapeutically effective amount of a pharmaceutical composition of claim 13.